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* * * * * Welcome to STN International * * * * *

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NEWS 10 Jul 30 BEILSTEIN on STN workshop to be held August 24 in conjunction
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228th ACS National Meeting
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NEWS EXPRESS JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT
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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 14:06:37 ON 25 AUG 2004

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 14:06:47 ON 25 AUG 2004

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STRUCTURE FILE UPDATES: 24 AUG 2004 HIGHEST RN 732209-96-0

DICTIONARY FILE UPDATES: 24 AUG 2004 HIGHEST RN 732209-96-0

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

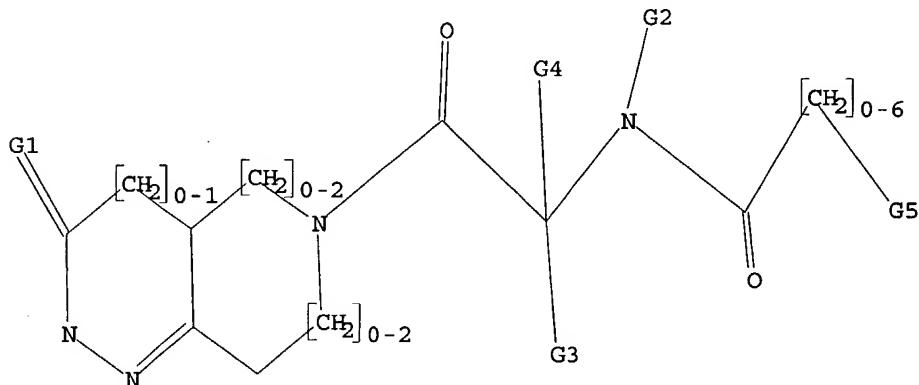
Uploading C:\Program Files\Stnexp\Queries\10626198.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 O, S

G2 H, Cb, Ak

G3 H, Cy, Hy, Ak

G4 Cy, Hy, Ak

G5 NH, NH2, Cy, Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 14:07:15 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1134 TO ITERATE

100.0% PROCESSED 1134 ITERATIONS

25 ANSWERS

SEARCH TIME: 00.00.01

L2 25 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.42

155.63

FILE 'CAPLUS' ENTERED AT 14:07:22 ON 25 AUG 2004

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FILE COVERS 1907 - 25 Aug 2004 VOL 141 ISS 9

FILE LAST UPDATED: 24 Aug 2004 (20040824/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2

L3 3 L2

=> d l3 fbib hitstr ans total

'ANS' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

The following are valid formats:

ABS ----- GI and AB

ALL ----- BIB, AB, IND, RE

APPS ----- AI, PRAI

BIB ----- AN, plus Bibliographic Data and PI table (default)

CAN ----- List of CA abstract numbers without answer numbers

CBIB ----- AN, plus Compressed Bibliographic Data

DALL ----- ALL, delimited (end of each field identified)

DMAX ----- MAX, delimited for post-processing

FAM ----- AN, PI and PRAI in table, plus Patent Family data

FBIB ----- AN, BIB, plus Patent FAM

IND ----- Indexing data
IPC ----- International Patent Classifications
MAX ----- ALL, plus Patent FAM, RE
PATS ----- PI, SO
SAM ----- CC, SX, TI, ST, IT
SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
SCAN must be entered on the same line as the DISPLAY,
e.g., D SCAN or DISPLAY SCAN)
STD ----- BIB, IPC, and NCL

IABS ----- ABS, indented with text labels
IALL ----- ALL, indented with text labels
IBIB ----- BIB, indented with text labels
IMAX ----- MAX, indented with text labels
ISTD ----- STD, indented with text labels

OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels

SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations

HIT ----- Fields containing hit terms
HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
containing hit terms
HITRN ----- HIT RN and its text modification
HITSTR ----- HIT RN, its text modification, its CA index name, and
its structure diagram
HITSEQ ----- HIT RN, its text modification, its CA index name, its
structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
structure diagram, plus NTE and SEQ fields
KWIC ----- Hit term plus 20 words on either side
OCC ----- Number of occurrence of hit term and field in which it occurs

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ENTER DISPLAY FORMAT (BIB):bib

L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:10473 CAPLUS
DN 136:69824
TI Preparation of heterocycle compounds as melanocortin receptor ligands
IN Carpino, Philip Albert; Cole, Bridget McCarthy; Morgan, Bradley Paul
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002000654	A1	20020103	WO 2001-IB995	20010531
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1294719	A1	20030326	EP 2001-934254	20010531
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001011567	A	20030506	BR 2001-11567	20010531
	JP 2004501917	T2	20040122	JP 2002-505778	20010531
	US 2002072604	A1	20020613	US 2001-891026	20010625
	BG 107268	A	20030630	BG 2002-107268	20021112
	ZA 2002010277	A	20031219	ZA 2002-10277	20021219
	NO 2002006280	A	20021230	NO 2002-6280	20021230
PRAI	US 2000-214616P	P	20000628		
	WO 2001-IB995	W	20010531		
OS	MARPAT 136:69824				

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:885763 CAPLUS
DN 136:15253
TI Melanocortin receptor agonists, and preparation thereof, for therapeutic use
IN Bakshi, Raman Kumar; Nargund, Ravi P.; Ye, Zhixiong
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001091752	A1	20011206	WO 2001-US17014	20010525
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1289526	A1	20030312	EP 2001-939460	20010525
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2003534377	T2	20031118	JP 2001-587767	20010525
	US 2002004512	A1	20020110	US 2001-867309	20010529
	US 6376509	B2	20020423		
PRAI	US 2000-207918P	P	20000530		

WO 2001-US17014 W 20010525
OS MARPAT 136:15253
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:151487 CAPLUS
DN 132:203148
TI Heterocycle-containing dipeptide compounds as growth hormone
secretagogues, their preparation, compositions containing them, and their
applications
IN Carpino, Philip Albert
PA Pfizer Products Inc., USA
SO Jpn. Kokai Tokkyo Koho, 94 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2000072771	A2	20000307	JP 1999-234704	19990820
	JP 3486137	B2	20040113		
	US 6358951	B1	20020319	US 1999-377326	19990818
	EP 995748	A1	20000426	EP 1999-306576	19990819
	EP 995748	B1	20040331		
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	AT 263168	E	20040415	AT 1999-306576	19990819
	BR 9903870	A	20001003	BR 1999-3870	19990820
	MX 9907844	A	20000331	MX 1999-7844	19990823
	US 2002045622	A1	20020418	US 2001-989040	20011121
	US 6559150	B2	20030506		
	US 2003130284	A1	20030710	US 2002-313495	20021206
	US 6686359	B2	20040203		
PRAI	US 1998-97502P	P	19980821		
	US 1999-377326	A3	19990818		
	US 2001-989040	A3	20011121		
OS	MARPAT 132:203148				

=> d l3 fbib hitstr abs total

L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:10473 CAPLUS
DN 136:69824
TI Preparation of heterocycle compounds as melanocortin receptor ligands
IN Carpino, Philip Albert; Cole, Bridget McCarthy; Morgan, Bradley Paul
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002000654	A1	20020103	WO 2001-IB995	20010531
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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
 RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
 UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1294719	A1	20030326	US 2000-214616P	P	20000628
			EP 2001-934254		20010531
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			US 2000-214616P	P	20000628
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JP 2004501917	T2	20040122	JP 2002-505778		20010531
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US 2002072604	A1	20020613	US 2001-891026		20010625
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BG 107268	A	20030630	BG 2002-107268		20021112
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			WO 2001-IB995	W	20010531
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NO 2002006280	A	20021230	NO 2002-6280		20021230
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OS MARPAT 136:69824

IT 384345-15-7P

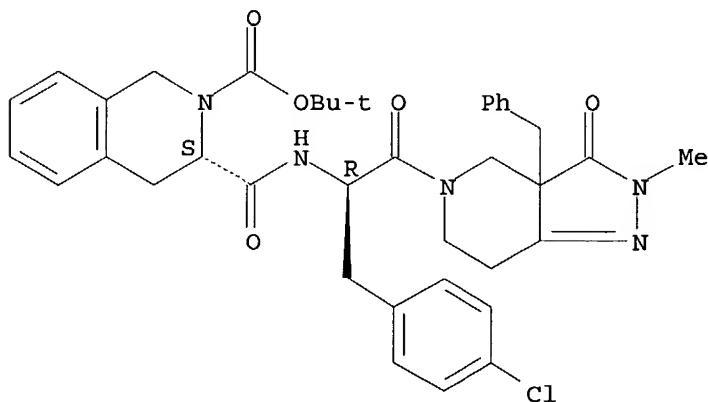
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of heterocycle compds. as melanocortin receptor ligands and therapeutic agents for treatment of prevention of obesity, diabetes mellitus, male or female sexual dysfunction)

RN 384345-15-7 CAPLUS

CN 2(1H)-Isoquinolinecarboxylic acid, 3-[[[(1R)-1-[(4-chlorophenyl)methyl]-2-[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]amino]carbonyl]-3,4-dihydro-, 1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 384345-14-6P 384345-16-8P 384345-17-9P
384345-21-5P 384345-22-6P 384345-23-7P
384345-24-8P 384345-25-9P 384345-26-0P
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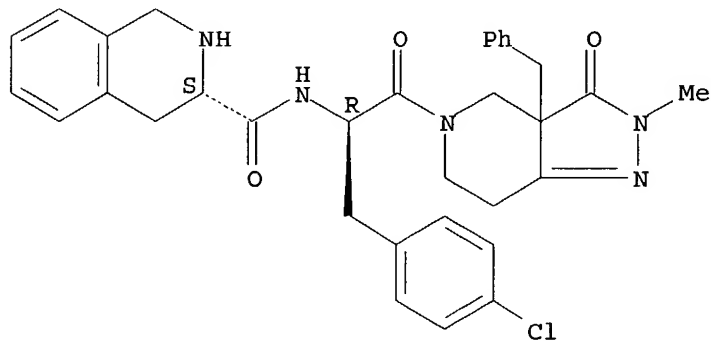
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of heterocycle compds. as melanocortin receptor ligands and
therapeutic agents for treatment of prevention of obesity, diabetes
mellitus, male or female sexual dysfunction)

RN 384345-14-6 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-
[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-
c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, hydrochloride, (3S)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

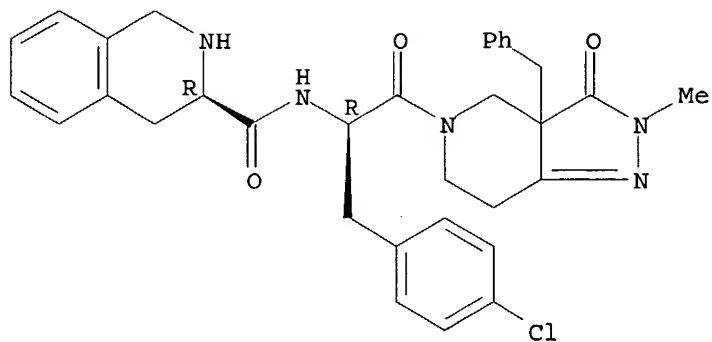


●x HCl

RN 384345-16-8 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-
[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-
c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, hydrochloride, (3R)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

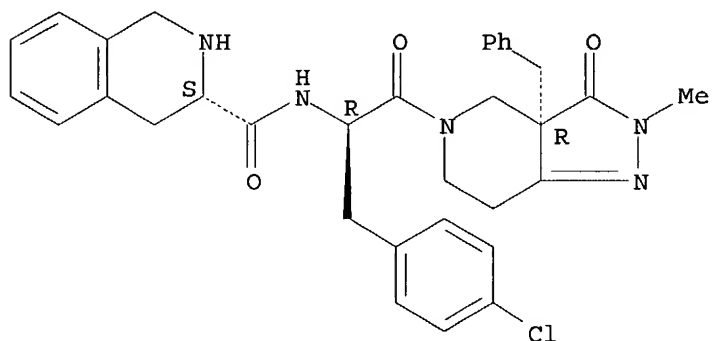


●x HCl

RN 384345-17-9 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[(3aR)-2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

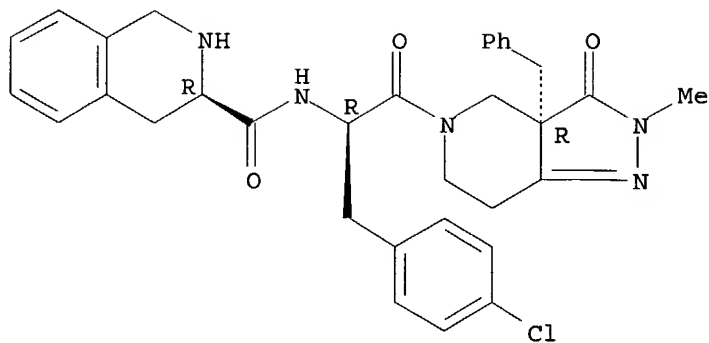
Absolute stereochemistry.



RN 384345-21-5 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[(3aR)-2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

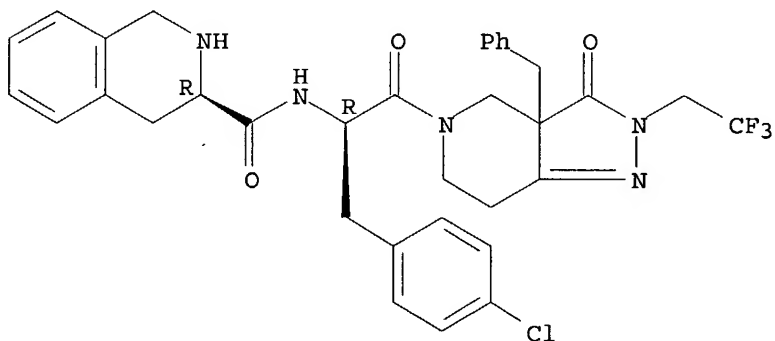
Absolute stereochemistry.



RN 384345-22-6 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[2,3,3a,4,6,7-hexahydro-3-oxo-3a-(phenylmethyl)-2-(2,2,2-trifluoroethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)-(9CI) (CA INDEX NAME)

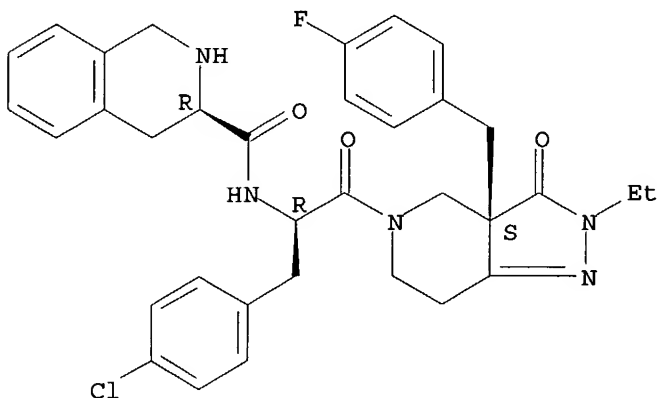
Absolute stereochemistry.



RN 384345-23-7 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[(3aS)-2-ethyl-3a-[(4-fluorophenyl)methyl]-2,3,3a,4,6,7-hexahydro-3-oxo-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)-(9CI) (CA INDEX NAME)

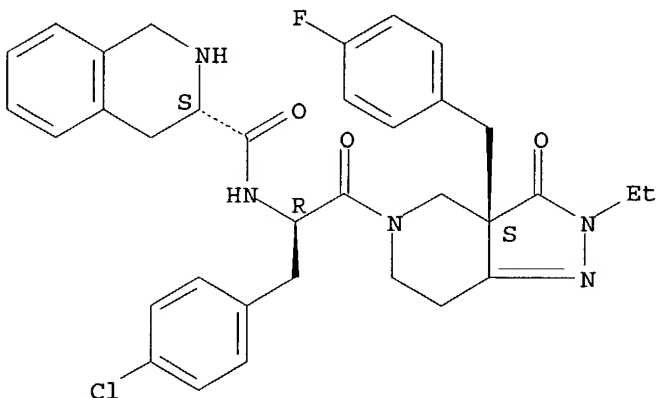
Absolute stereochemistry.



RN 384345-24-8 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[(3aS)-2-ethyl-3a-[(4-fluorophenyl)methyl]-2,3,3a,4,6,7-hexahydro-3-oxo-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI)
(CA INDEX NAME)

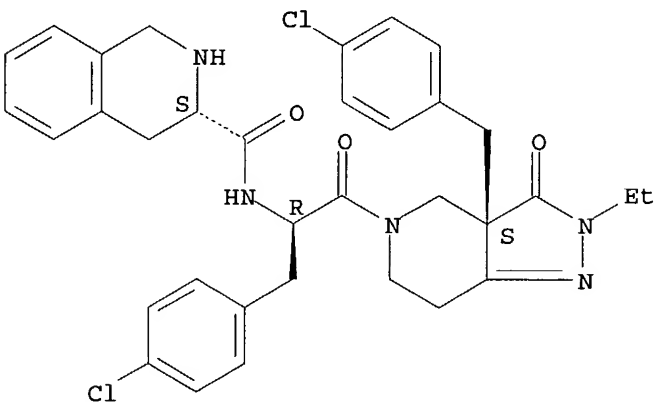
Absolute stereochemistry.



RN 384345-25-9 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[(3aS)-3a-[(4-chlorophenyl)methyl]-2-ethyl-2,3,3a,4,6,7-hexahydro-3-oxo-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI)
(CA INDEX NAME)

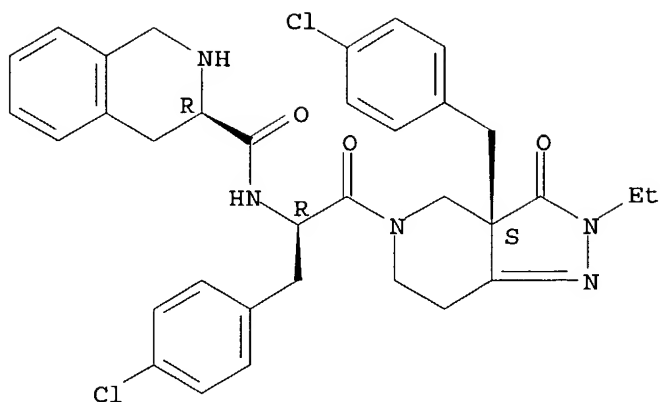
Absolute stereochemistry.



RN 384345-26-0 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[(3aS)-3a-[(4-chlorophenyl)methyl]-2-ethyl-2,3,3a,4,6,7-hexahydro-3-oxo-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI)
(CA INDEX NAME)

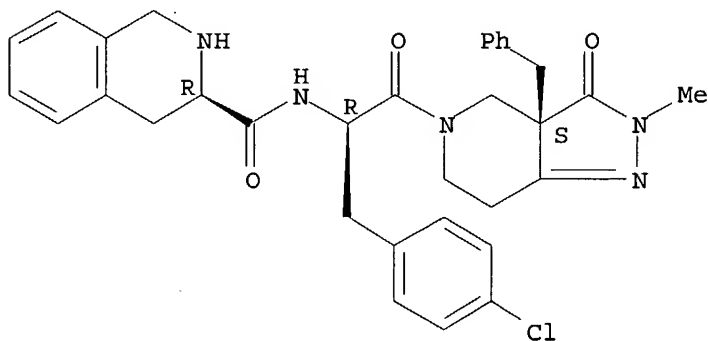
Absolute stereochemistry.



RN 384345-27-1 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[(3aS)-2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

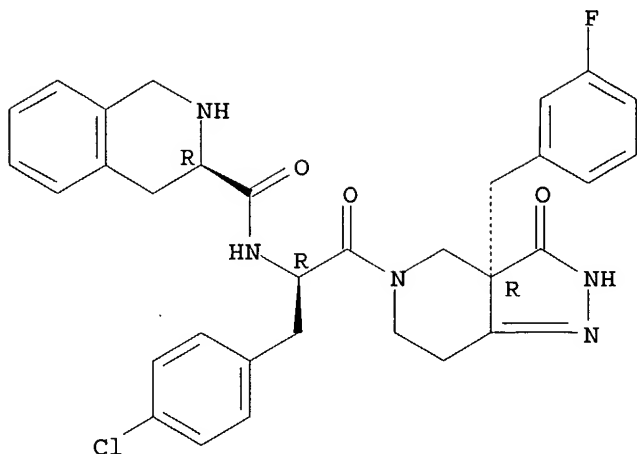
Absolute stereochemistry.



RN 384345-28-2 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[(3aR)-3a-[(3-fluorophenyl)methyl]-2,3,3a,4,6,7-hexahydro-3-oxo-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

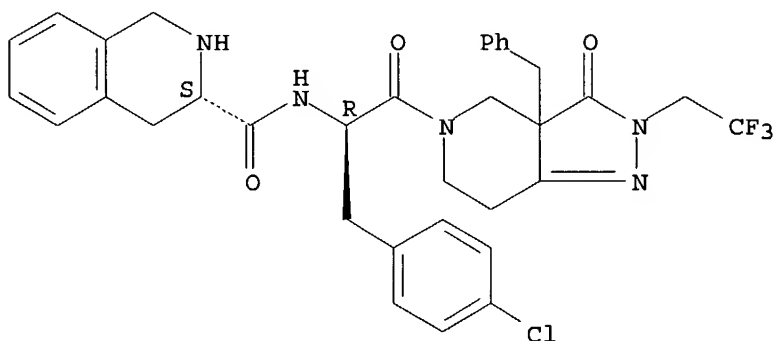
Absolute stereochemistry.



RN 384345-29-3 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[2,3,3a,4,6,7-hexahydro-3-oxo-3a-(phenylmethyl)-2-(2,2,2-trifluoroethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)-(9CI) (CA INDEX NAME)

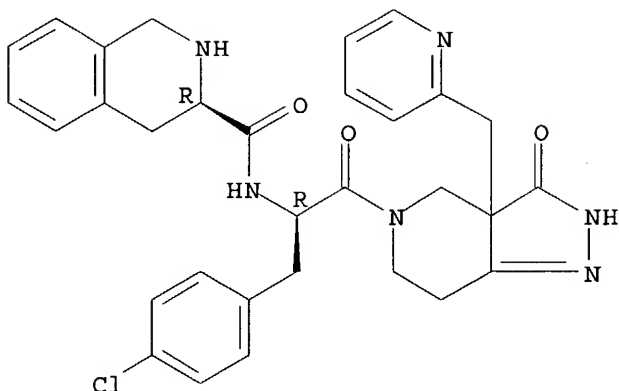
Absolute stereochemistry.



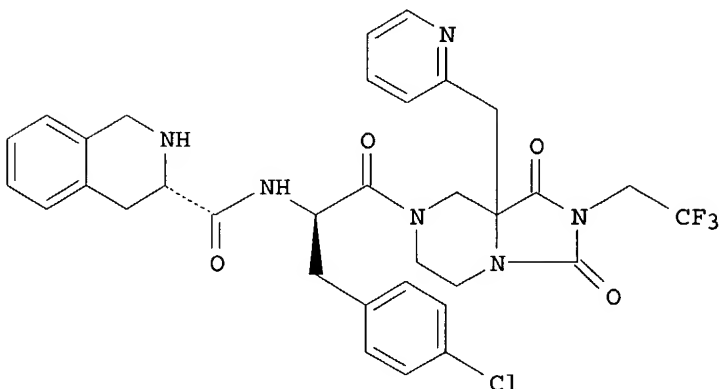
RN 384345-30-6 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[2,3,3a,4,6,7-hexahydro-3-oxo-3a-(2-pyridinylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



II

AB Compds. represented by formula HET-COCR3R4-NX4-CO(CR6R7)_m-D [I; wherein m = 0, 1 or 2; HET = heterocyclyl; R3, R4 = H,, C1-8 alkyl, CH(R8)-aryl, -CH(R8)-heteroaryl, -C0-3 alkyl-C3-8 cycloalkyl (wherein the aryl or heteroaryl groups are optionally substituted by one or two groups; R8 = H, C1-8 alkyl, -C0-3 alkylaryl, -C0-3 alkylheteroaryl, -C3-6 cycloalkyl); R6, R7 = H, C1-6 alkyl, -C0-3 alkyl-aryl, -C0-3 alkyl-heteroaryl, -C0-3 alkyl-C3-8 cycloalkyl; or R6 and R7 together with the nitrogen atom to which they are attached form a 5- or 6-membered ring optionally containing an addnl. heteroatom selected from O, S, NR3; D = -C0-6 alkylamino-C(:NR7)-NR15R16, -C0-6 alkylaminopyridyl, -C0-6 alkylaminoimidazolyl, -C0-6 alkylaminothiazolyl, -C0-6 alkylaminopyrimidinyl, -C0-6 alkylaminopiperazinyl-R15, -C0-6 alkylmorpholinyl, etc. (wherein R15, R16 = H, -C1-6 alkyl, -C0-3 alkylaryl, -C0-3 alkylheteroaryl, or -C0-3 alkyl-C3-8 cycloalkyl, wherein the alkyl and aryl groups are optionally substituted with one or two groups); X4 = H or C1-6 alkyl or X4 is taken together with R4 and the nitrogen atom to which X4 is attached and the carbon atom to which R4 is attached and form a five to seven membered ring] are prepared Melanocortins are peptides derived from pro-opiomelanocortins (POMC) that bind to and activate G-protein coupled receptors (GPCR's) of the melanocortin receptor family and regulate a diverse number of physiol. processes including food intake., metabolism, and

thermogenesis as well as sexual dysfunction. These compds. I are useful for the treatment or prevention of disorders, diseases, or conditions responsive to the activation of melanocortin receptor including obesity, diabetes mellitus, male or female sexual dysfunction, erectile dysfunction, or disorders that cause reduction in appetite, or feeding behavior and/or body weight; for modulating appetite and metabolic rates; for acutely stimulating the appetite for the treatment of hepatic lipidosis, cachexia, and other pathologies resulting in/from inappropriate food intake and weight loss; for acutely stimulating the appetite of livestock for the treatment of ketosis, postpartum anestrus, and other metabolic and reproductive pathologies resulting in/from inappropriate food intake and weight loss; and for enhancing growth and survivability of neonates in livestock. Thus, esterification of N-Boc-L-Tic-OH with N-hydroxysuccinimide using Et₃N and EDC in CH₂Cl₂ at room temperature for 4 h gave 3,4-Dihydro-1H-isoquinoline-2,3-(S)-dicarboxylic acid 2-tert-Bu ester 3-(2,5-dioxopyrrolidin-1-yl) ester which was condensed with D-p-chlorophenylalanine in the presence of Et₃N in CH₂Cl₂ at room temperature overnight to give 3-(S)-[(R)-1-Carboxy-2-(4-chlorophenyl)ethylcarbamoyl]-3,4-dihydro-1H-isoquinoline-2-carboxylic acid tert-Bu ester. The latter compound was further condensed with 8a-(Pyridin-2-ylmethyl)-2-(2,2,2-trifluoroethyl)tetrahydroimidazo[1,5-a]pyrazine-1,3-dione using Et₃N and EDC in CH₂Cl₂ at 0° for 5 h to give (S)-3-[(R)-1-(4-Chlorobenzyl)-2-[1,3-dioxo-8a-(pyridin-2-ylmethyl)-2-(2,2,2-trifluoroethyl)hexahydroimidazo[1,5-a]pyrazin-7-yl]-2-oxoethylcarbamoyl]-3,4-dihydro-1H-isoquinoline-2-carboxylic acid tert-Bu ester which was treated with a mixture of EtOH and concentrated HCl at 0° for 0.5 h to give (S)-1,2,3,4-Tetrahydroisoquinoline-3-carboxylic acid N-[(R)-1-(4-chlorobenzyl)-2-[1,3-dioxo-8a-(pyridin-2-ylmethyl)-2-(2,2,2-trifluoroethyl)hexahydroimidazo[1,5-a]pyrazin-7-yl]-2-oxoethyl]amide (II) hydrochloride which may be considered as a dipeptide analog heptercycle amide, N-[N-(L-1,2,3,4-Tetrahydroisoquinoline-3-carbonyl)-D-p-chlorophenylalanyl]-1,3-dioxo-8a-(pyridin-2-ylmethyl)-2-(2,2,2-trifluoroethyl)hexahydroimidazo[1,5-a]pyrazine.

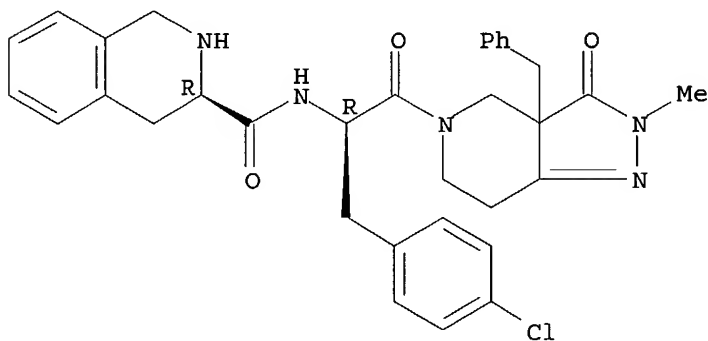
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:885763 CAPLUS
DN 136:15253
TI Melanocortin receptor agonists, and preparation thereof, for therapeutic use
IN Bakshi, Raman Kumar; Nargund, Ravi P.; Ye, Zhixiong
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

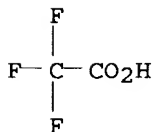
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001091752	A1	20011206	WO 2001-US17014	20010525
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BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 US 2000-207918P P 20000530
 EP 1289526 A1 20030312 EP 2001-939460 20010525
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 US 2000-207918P P 20000530
 WO 2001-US17014 W 20010525
 JP 2003534377 T2 20031118 JP 2001-587767 20010525
 US 2000-207918P P 20000530
 WO 2001-US17014 W 20010525
 US 2002004512 A1 20020110 US 2001-867309 20010529
 US 6376509 B2 20020423
 US 2000-207918P P 20000530
 OS MARPAT 136:15253
 IT 378741-82-3P 379266-73-6DP, isomers 379266-73-6P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (melanocortin receptor agonist preparation for therapeutic use)
 RN 378741-82-3 CAPLUS
 CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-
 [2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-
 c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)-,
 mono(trifluoroacetate) (9CI) (CA INDEX NAME)
 CM 1
 CRN 378741-76-5
 CMF C33 H34 Cl N5 O3

Absolute stereochemistry.



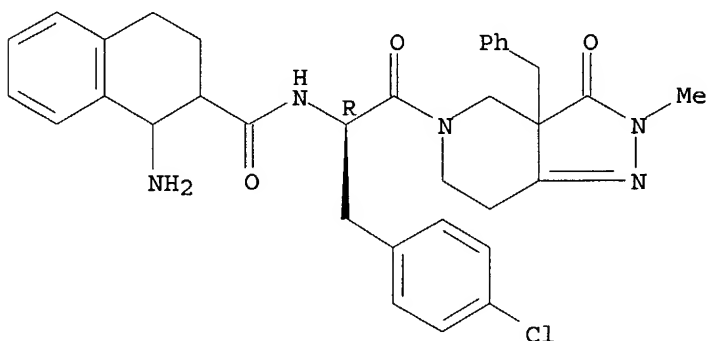
CM 2
 CRN 76-05-1
 CMF C2 H F3 O2



RN 379266-73-6 CAPLUS

CN 2-Naphthalenecarboxamide, 1-amino-N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, monohydrochloride (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

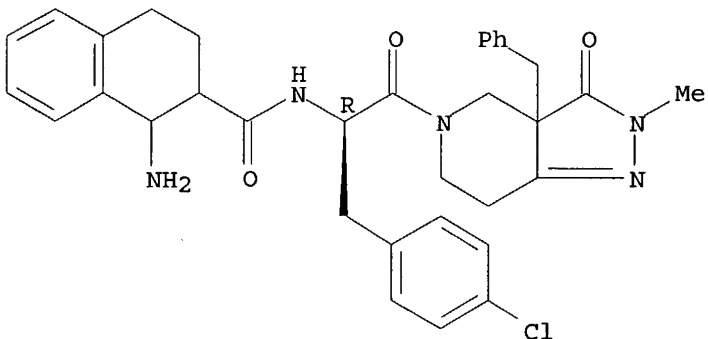


● HCl

RN 379266-73-6 CAPLUS

CN 2-Naphthalenecarboxamide, 1-amino-N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, monohydrochloride (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT 378741-76-5 379266-96-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)

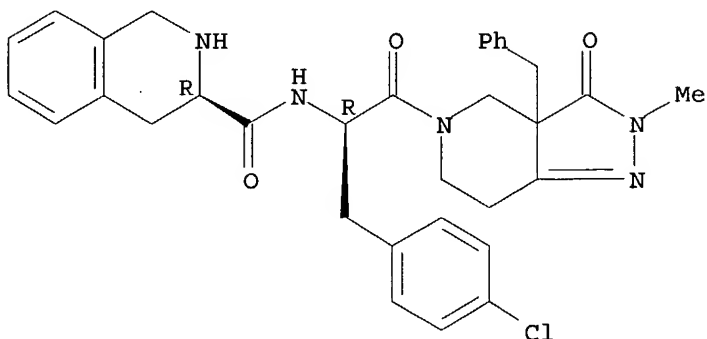
(melanocortin receptor agonist preparation for therapeutic use)

RN 378741-76-5 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-

c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

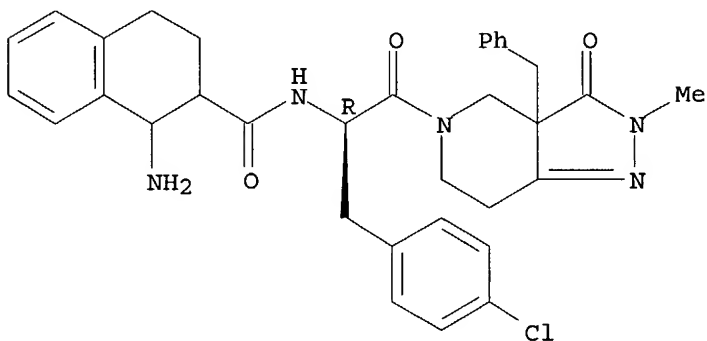
Absolute stereochemistry.



RN 379266-96-3 CAPLUS

CN 2-Naphthalenecarboxamide, 1-amino-N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



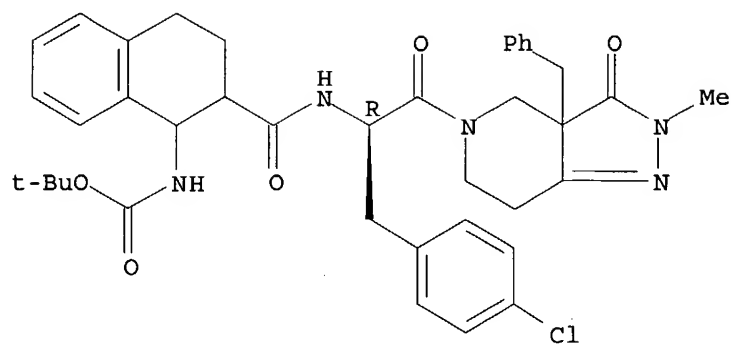
IT 379266-72-5DP, isomers 379266-72-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction; melanocortin receptor agonist preparation for therapeutic use)

RN 379266-72-5 CAPLUS

CN Carbamic acid, [2-[[[(1R)-1-[(4-chlorophenyl)methyl]-2-[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]amino]carbonyl]-1,2,3,4-tetrahydro-1-naphthalenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

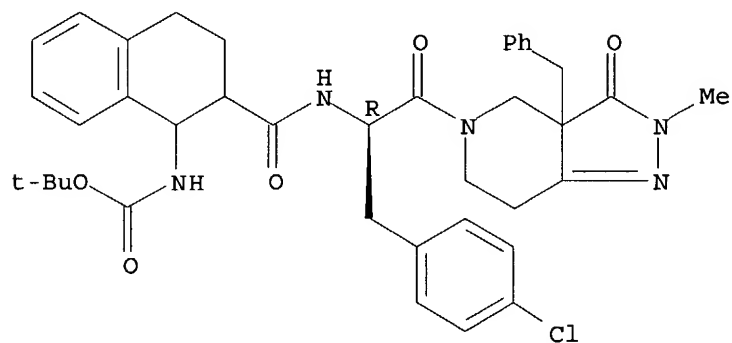
Absolute stereochemistry.



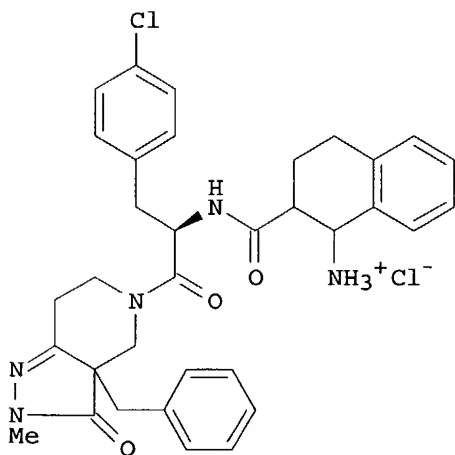
RN 379266-72-5 CAPLUS

CN Carbamic acid, [2-[[[(1R)-1-[(4-chlorophenyl)methyl]-2-[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]amino]carbonyl]-1,2,3,4-tetrahydro-1-naphthalenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



AB The invention discloses compds. and derivs. thereof which are agonists of the human melanocortin receptor(s) and, in particular, are selective agonists of the human melanocortin-4 receptor (MC-4R). They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, e.g. obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Preparation of e.g. I is described.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:151487 CAPLUS

DN 132:203148

TI Heterocycle-containing dipeptide compounds as growth hormone secretagogues, their preparation, compositions containing them, and their applications

IN Carpino, Philip Albert

PA Pfizer Products Inc., USA

SO Jpn. Kokai Tokkyo Koho, 94 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2000072771	A2	20000307	JP 1999-234704	19990820
	JP 3486137	B2	20040113		
	US 6358951	B1	20020319	US 1998-97502P	P 19980821
				US 1999-377326	19990818
				US 1998-97502P	P 19980821
	EP 995748	A1	20000426	EP 1999-306576	19990819
	EP 995748	B1	20040331		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
				US 1998-97502P	P 19980821
	AT 263168	E	20040415	AT 1999-306576	19990819
				US 1998-97502P	P 19980821
	BR 9903870	A	20001003	BR 1999-3870	19990820
				US 1998-97502P	P 19980821
	MX 9907844	A	20000331	MX 1999-7844	19990823
				US 1998-97502P	P 19980821
	US 2002045622	A1	20020418	US 2001-989040	20011121
	US 6559150	B2	20030506		
				US 1998-97502P	P 19980821
				US 1999-377326	A3 19990818
	US 2003130284	A1	20030710	US 2002-313495	20021206
	US 6686359	B2	20040203		
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OS MARPAT 132:203148

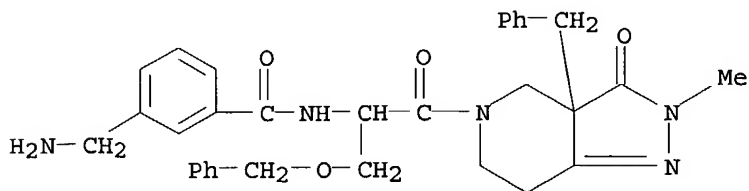
IT 260357-81-1 260357-82-2 260357-83-3
260357-84-4 260357-85-5 260357-86-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of heterocycle-containing amide compds. as growth hormone secretagogues and their applications)

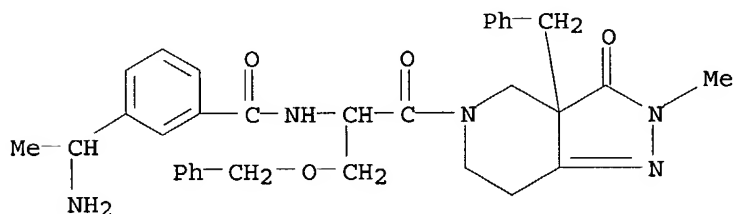
RN 260357-81-1 CAPLUS

CN Benzamide, 3-(aminomethyl)-N-[2-[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)



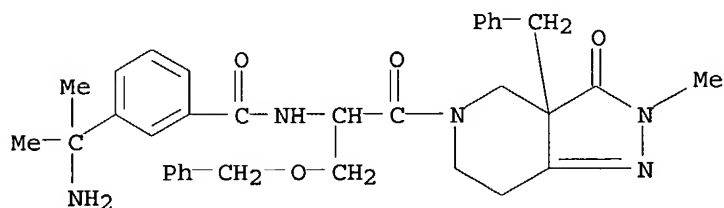
RN 260357-82-2 CAPLUS

CN Benzamide, 3-(1-aminoethyl)-N-[2-[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)



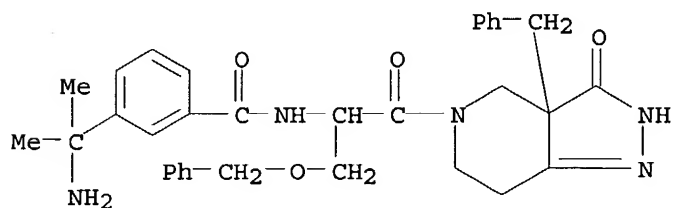
RN 260357-83-3 CAPLUS

CN Benzamide, 3-(1-amino-1-methylethyl)-N-[2-[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)



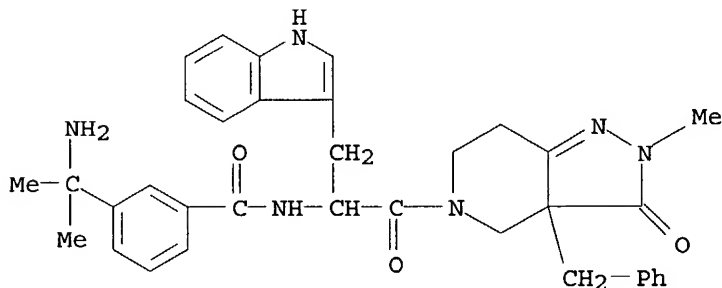
RN 260357-84-4 CAPLUS

CN Benzamide, 3-(1-amino-1-methylethyl)-N-[2-[2,3,3a,4,6,7-hexahydro-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]- (9CI) (CA INDEX NAME)



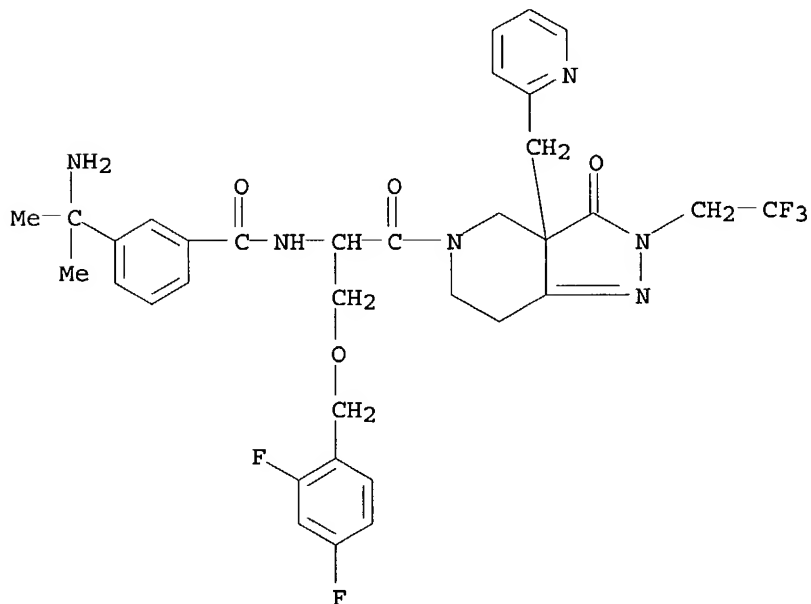
RN 260357-85-5 CAPLUS

CN Benzamide, 3-(1-amino-1-methylethyl)-N-[2-[2,3,3a,4,6,7-hexahydro-2-methyl-3-oxo-3a-(phenylmethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

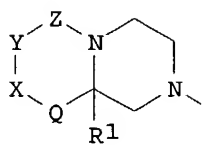


RN 260357-86-6 CAPLUS

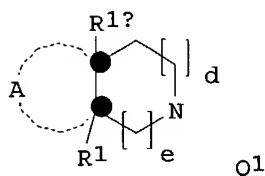
CN Benzamide, 3-(1-amino-1-methylethyl)-N-[1-[[2,4-difluorophenyl)methoxymethyl]-2-[2,3,3a,4,6,7-hexahydro-3-oxo-3a-(2-pyridinylmethyl)-2-(2,2,2-trifluoroethyl)-5H-pyrazolo[4,3-c]pyridin-5-yl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



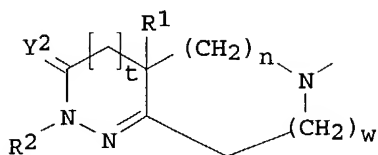
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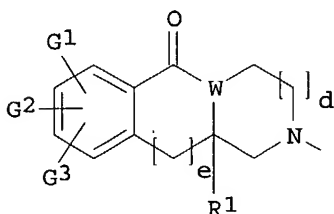
Q



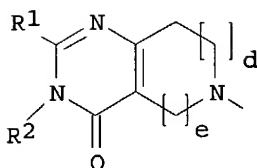
Q1



Q2



Q3



Q4

AB HET-COCR3R4NX4COR6NR7R8 [I; HET = heterocyclyl Q, Q1, Q2, Q3, Q4 (definitions for variants are given); R3 = certain (un)substituted ring systems (A1), alkyl, A1-alkyl, etc.; R4 = H, alkyl, cycloalkyl or CR3R4 = a ring system; X4 = H, alkyl, or X4 and R4 form a ring; R6 = linking group containing O, S, CH:CH (hetero)arylene; R7, R8 = H, (un)substituted alkyl or R7R8N forms a ring], mixts. of their stereoisomers, diastereomerically or enantiomerically pure isomers, their pharmaceutically acceptable salts, or their prodrugs are claimed. I are growth hormone secretagogues and are useful for increasing the level of endogenous growth hormone, treating musculoskeletal fragility such as osteoporosis in combination with selective estrogen receptor modulators, treating insulin resistance, enhancing milk production, promoting piglet growth, etc. (preparation given) showed dose-related lowering of plasma glucose and/or insulin levels when administered to female rat of three months, which is consistent with an improvement in glycemic control and insulin sensitivity. The treatment was also associated with trends for decreased plasma lactate, cholesterol, and triglyceride levels, which is also consistent with an improvement in lipid profile and metabolic control as a result of improved insulin sensitivity incurred by this treatment.

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

17.90

173.53

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-2.10

-2.10